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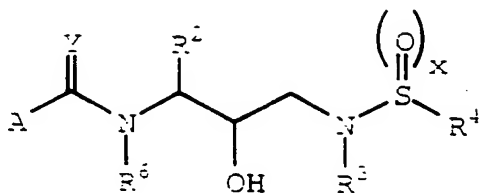
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WHAT IS CLAIMED IS:

1. A compound represented by the formula:



or a pharmaceutically acceptable salt, prodrug or ester thereof, wherein

- 10 R<sup>2</sup> is an alkyl, aryl, cycloalkyl, cycloalkylalkyl or aralkyl radical, which radical is optionally substituted with a radical selected from the group consisting of alkyl, halo, nitro, cyano, CF<sub>3</sub>, -OR<sup>9</sup>, and -SR<sup>9</sup>, wherein  
15 R<sup>9</sup> is a radical selected from the group consisting of hydrogen and alkyl;

- R<sup>3</sup> is a hydrogen, alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, alkylthioalkyl, alkylsulfonylalkyl, cycloalkyl, cycloalkylalkyl,  
20 heterocycloalkyl, heteroaryl, heterocycloalkylalkyl, aryl, aralkyl, heteroaralkyl, aminoalkyl or mono- or disubstituted aminoalkyl radicals, wherein said substituents are selected from the group consisting of alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl,  
25 heteroaryl, heteroaralkyl, heterocycloalkyl and heterocycloalkylalkyl radicals; or where said aminoalkyl radical is disubstituted, said substituents along with the nitrogen atom to which they are attached, form a heterocycloalkyl or a heteroaryl radical;

- 30 R<sup>4</sup> is an alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heteroaryl, heterocycloalkylalkyl, aryl, aralkyl, aralkenyl, heteroaralkyl, aminoalkyl or

mono- or disubstituted aminoalkyl radical, wherein said substituents are selected from the group consisting of alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroaralkyl, heterocycloalkyl and heterocycloalkylalkyl radicals; or where said aminoalkyl radical is disubstituted, said substituents along with the nitrogen atom to which they are attached, form a heterocycloalkyl or a heteroaryl radical;

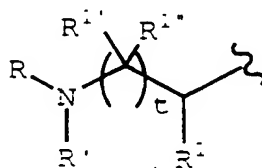
R<sup>6</sup> is a hydrogen or alkyl radical;

x is 1 or 2;

t is 0 or 1; and

Y is 0 or 3; and

A is an alkoxy, alkenoxy, aralkoxy, alkyl, cycloalkyl, cycloalkylalkoxy, cycloalkylalkyl, aralkyl, aryl, aryloxy, heterocycloalkyl, heterocycloalkoxy, heterocycloalkylalkyl, heterocycloalkylalkoxy, heteroaralkyl, heteroaralkoxy, heteroaryloxy, heteroaryl, alkenyl, aryloxyalkyl, heteroaryloxyalkyl, hydroxyalkyl, amino, or mono- or disubstituted amino radical, wherein the substituents are selected from the group consisting of alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroaralkyl, heterocycloalkyl and heterocycloalkylalkyl radicals; or where said amino radical is disubstituted, said substituents along with the nitrogen atom to which they are attached form a heterocycloalkyl or heteroaryl radical; or is represented by the formula



wherein R is a hydrogen, alkoxycarbonyl, aralkoxycarbonyl, alkylcarbonyl, cycloalkylcarbonyl, cycloalkylalkoxycarbonyl, cycloalkylalkanoyl, carboxyalkanoyl, alkanoyl, aralkanoyl, aroyl, aryloxycarbonyl, aryloxycarbonylalkyl, aryloxyalkanoyl, heterocyclylcarbonyl, heterocyclyloxycarbonyl, heterocyclylalkanoyl, heterocyclylalkoxycarbonyl, heteroaralkanoyl, heteroaralkoxycarbonyl, heteroaryloxy-carbonyl, heteroaroyl, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, aralkyl, aryloxyalkyl, heteroaryloxyalkyl, hydroxyalkyl, aminocarbonyl, aminoalkanoyl, or mono- or disubstituted aminocarbonyl or mono- or disubstituted aminoalkanoyl radical, wherein the substituents are selected from the group consisting of alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heterocaralkyl, heterocycloalkyl and heterocycloalkylalkyl radicals; or wherein said aminocarbonyl or aminoalkanoyl radicals are disubstituted, said substituents along with the nitrogen atom to which they are attached form a heterocycloalkyl or heteroaryl radical;

R' is a radical as defined for R<sup>3</sup> or R"SO<sub>2</sub>-, wherein R" is a radical as defined for R<sup>3</sup>; or R and R' together with the nitrogen to which they are attached form a heterocycloalkyl or heteroaryl radical;

R<sup>1</sup> is a hydrogen, -CO<sub>2</sub>CH<sub>3</sub>, -CH<sub>2</sub>CO<sub>2</sub>CH<sub>3</sub>, -CO<sub>2</sub>H, -CH<sub>2</sub>CO<sub>2</sub>H, -CH<sub>2</sub>CH<sub>2</sub>CONH<sub>2</sub>, -CH<sub>2</sub>CONH<sub>2</sub>, -CONH<sub>2</sub>, -CH<sub>2</sub>C(O)NHCH<sub>3</sub>, -CH<sub>2</sub>C(O)N(CH<sub>3</sub>)<sub>2</sub>, -CONHCH<sub>3</sub>, -CONH(CH<sub>3</sub>)<sub>2</sub>, -CH<sub>2</sub>SO<sub>2</sub>NH<sub>2</sub>, -CH<sub>2</sub>CH<sub>2</sub>SO<sub>2</sub>NH<sub>2</sub>, -CH<sub>2</sub>S(O)CH<sub>3</sub>, -CH<sub>2</sub>S(O)<sub>2</sub>CH<sub>3</sub>, -C(CH<sub>3</sub>)<sub>2</sub>(SCH<sub>3</sub>), -C(CH<sub>3</sub>)<sub>2</sub>(S(O)CH<sub>3</sub>), -C(CH<sub>3</sub>)<sub>2</sub>(S(O)<sub>2</sub>CH<sub>3</sub>), alkyl, hydroxyalkyl, cyanoalkyl, haloalkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, alkylthioalkyl, aralkyl, heteroaralkyl, aminoalkyl or mono- or disubstituted aminoalkyl radical, wherein said substituents are selected from the group consisting of alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl,

heteroaralkyl, heterocycloalkyl and heterocycloalkylalkyl radicals; or where said aminoalkyl radical is disubstituted, said substituents along with the nitrogen atom to which they are attached, form a heterocycloalkyl or a heteroaryl radical; and

each of R<sup>1'</sup> and R<sup>1''</sup> are independently a radical as defined for R<sup>1</sup>; or one of R<sup>1'</sup> and R<sup>1''</sup> together with R<sup>1</sup> and the carbon atoms to which R<sup>1</sup>, R<sup>1'</sup> and R<sup>1''</sup> are attached, form a cycloalkyl radical.

2. The compound of Claim 1 or a pharmaceutically acceptable salt, prodrug or ester thereof, wherein

R<sup>2</sup> is an alkyl, aryl, cycloalkyl, cycloalkylalkyl or aralkyl radical, which radical is optionally substituted with a radical selected from the group consisting of alkyl, halo and -OR<sup>9</sup>, wherein R<sup>9</sup> is a radical selected from the group consisting of hydrogen and alkyl;

R<sup>3</sup> is a hydrogen, alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, alkylthioalkyl, alkylsulfonylalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heteroaryl, heterocycloalkylalkyl, aryl, aralkyl, heteroaralkyl, aminoalkyl or mono- or disubstituted aminoalkyl radicals, wherein said substituents are selected from the group consisting of alkyl, aralkyl, cycloalkyl and cycloalkylalkyl radicals; or where said aminoalkyl radical is disubstituted, said substituents along with the nitrogen atom to which they are attached, form a heterocycloalkyl or a heteroaryl radical;

R<sup>4</sup> is an alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heteroaryl, heterocycloalkylalkyl, aryl, aralkyl, aralkenyl or heteroaralkyl radical;

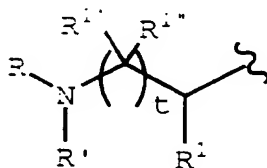
$R^6$  is a hydrogen or alkyl radical;

$x$  is 1 or 2;

$t$  is 0 or 1; and

$Y$  is O or S; and

- 10 A is an alkoxy, alkenoxy, aralkoxy, alkyl, cycloalkyl, cycloalkylalkoxy, cycloalkylalkyl, aralkyl, aryl, aryloxy, heterocycloalkyl, heterocycloalkoxy, heterocycloalkylalkyl, heterocycloalkylalkoxy, heteroaralkyl, heterocaralkoxy, heteroaryloxy, heteroaryl, hydroxyalkyl, amino, or mono- or disubstituted amino radical, wherein the substituents are selected from the group consisting of alkyl, aralkyl, heteroaryl, heteroaralkyl, heterocycloalkyl and heterocycloalkylalkyl radicals; or where said amino radical is disubstituted, said substituents along with the nitrogen atom to which they are attached form a heterocycloalkyl radical; or is represented by the formula



- 25 wherein R is a hydrogen, alkoxycarbonyl, aralkoxycarbonyl, alkylcarbonyl, carboxyalkanoyl, alkanoyl, aralkanoyl, aroyl, heterocyclylcarbonyl, heterocyclyloxy carbonyl, heterocyclylalkanoyl, heterocyclylalkoxycarbonyl, heteroaralkanoyl, heteroaralkoxycarbonyl, heteroaryloxy-carbonyl, heteroaroyl, alkyl, cycloalkyl, aralkyl, hydroxyalkyl, aminocarbonyl, aminoalkanoyl, or mono- or disubstituted aminocarbonyl or mono- or disubstituted aminoalkanoyl radical, wherein the substituents are selected from the
- 30
- 35

group consisting of alkyl, aralkyl, heteroaryl, heteroaralkyl, heterocycloalkyl and heterocycloalkylalkyl radicals; or wherein said aminocarbonyl or aminoalkancyl radicals are disubstituted, said substituents along with the nitrogen atom to which they are attached form a heterocycloalkyl or heteroaryl radical;

R' is a hydrogen, alkyl or aralkyl radical or R"SO<sub>2</sub>-, wherein R" is a radical as defined for R<sup>3</sup>; or R and R' together with the nitrogen to which they are attached form a heterocycloalkyl or heteroaryl radical;

R<sup>1</sup> is a hydrogen, -CO<sub>2</sub>CH<sub>3</sub>, -CH<sub>2</sub>CO<sub>2</sub>CH<sub>3</sub>, -CO<sub>2</sub>H, -CH<sub>2</sub>CO<sub>2</sub>H, -CH<sub>2</sub>CH<sub>2</sub>CONH<sub>2</sub>, -CH<sub>2</sub>CONH<sub>2</sub>, -CONH<sub>2</sub>, -CH<sub>2</sub>C(O)NHCH<sub>3</sub>, -CH<sub>2</sub>C(O)N(CH<sub>3</sub>)<sub>2</sub>, -CONHCH<sub>3</sub>, -CONH(CH<sub>3</sub>)<sub>2</sub>, -CH<sub>2</sub>SO<sub>2</sub>NH<sub>2</sub>, -CH<sub>2</sub>CH<sub>2</sub>SO<sub>2</sub>NH<sub>2</sub>, -CH<sub>2</sub>S[O]CH<sub>3</sub>, -CH<sub>2</sub>S[O]<sub>2</sub>CH<sub>3</sub>, -C(CH<sub>3</sub>)<sub>2</sub>(SCH<sub>3</sub>), -C(CH<sub>3</sub>)<sub>2</sub>(S[O]CH<sub>3</sub>), -C(CH<sub>3</sub>)<sub>2</sub>(S[O]<sub>2</sub>CH<sub>3</sub>), alkyl, hydroxyalkyl, cyanoalkyl, haloalkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, alkylthioalkyl, aralkyl, heteroaralkyl, aminoalkyl or mono- or disubstituted aminoalkyl radical, wherein said substituents are selected from the group consisting of alkyl, aralkyl, heteroaryl, heterocaralkyl, heterocycloalkyl and heterocycloalkylalkyl radicals; or where said aminoalkyl radical is disubstituted, said substituents along with the nitrogen atom to which they are attached, form a heterocycloalkyl or a heteroaryl radical; and

each of R<sup>1'</sup> and R<sup>1''</sup> are independently a radical as defined for R<sup>1</sup>; or one of R<sup>1'</sup> and R<sup>1''</sup> together with R<sup>1</sup> and the carbon atoms to which R<sup>1</sup>, R<sup>1'</sup> and R<sup>1''</sup> are attached, form a cycloalkyl radical.

3. The compound of Claim 2 or a pharmaceutically acceptable salt, prodrug or ester thereof, wherein

R<sup>2</sup> is an alkyl, aryl, cycloalkyl, cycloalkylalkyl or aralkyl radical, which radical is optionally substituted

with a radical selected from the group consisting of alkyl, halo and  $-OR^9$ , wherein  $R^9$  is a radical selected from the group consisting of hydrogen and alkyl;

5  $R^3$  is a hydrogen, alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, alkylthioalkyl, alkylsulfonylalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heteroaryl, heterocycloalkylalkyl, aryl, aralkyl, heteroaralkyl, aminoalkyl or mono- or  
10 dialkyl substituted aminoalkyl radical;

$R^4$  is an alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heteroaryl, heterocycloalkylalkyl,  
15 aryl, aralkyl, aralkenyl or heteroaralkyl radical;

$R^6$  is a hydrogen or alkyl radical;

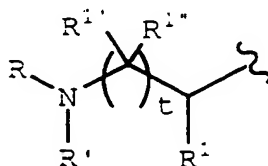
x is 1 or 2;

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t is 0 or 1; and

Y is O or S; and

25 A is an alkoxy, alkenoxy, aralkoxy, alkyl, cycloalkyl, aryl, heterocycloalkyl, heterocycloalkoxy, heterocycloalkylalkyl, heteroaralkoxy, heteroaryl, amino, or mono- or disubstituted amino radical, wherein the  
30 substituents are selected from the group consisting of alkyl and aralkyl radicals; or is represented by the formula



wherein R is a hydrogen, alkoxycarbonyl, aralkoxycarbonyl, alkylcarbonyl, carboxyalkanoyl, alkanoyl, arcy, heteroaroyl, alkyl, aralkyl, aminocarbonyl, aminoalkanoyl, or mono- or disubstituted aminocarbonyl or mono- or disubstituted aminoalkanoyl radical, wherein the substituents are selected from the group consisting of alkyl and aralkyl radicals;

R' is a hydrogen, alkyl or aralkyl radical or R"SO<sub>2</sub>-, wherein R" is a radical as defined for R<sup>3</sup>; or R and R' together with the nitrogen to which they are attached form a heterocycloalkyl or heteroaryl radical;

R<sup>1</sup> is a hydrogen, -CO<sub>2</sub>CH<sub>3</sub>, -CH<sub>2</sub>CO<sub>2</sub>CH<sub>3</sub>, -CO<sub>2</sub>H, -CH<sub>2</sub>CO<sub>2</sub>H, -CH<sub>2</sub>CH<sub>2</sub>CONH<sub>2</sub>, -CH<sub>2</sub>CONH<sub>2</sub>, -CONH<sub>2</sub>, -CH<sub>2</sub>C(O)NHCH<sub>3</sub>, -CH<sub>2</sub>C(O)N(CH<sub>3</sub>)<sub>2</sub>, -CONHCH<sub>3</sub>, -CONH(CH<sub>3</sub>)<sub>2</sub>, -CH<sub>2</sub>SO<sub>2</sub>NH<sub>2</sub>, -CH<sub>2</sub>CH<sub>2</sub>SO<sub>2</sub>NH<sub>2</sub>, -CH<sub>2</sub>S[O]CH<sub>3</sub>, -CH<sub>2</sub>S[O]<sub>2</sub>CH<sub>3</sub>, -C(CH<sub>3</sub>)<sub>2</sub>(SCH<sub>3</sub>), -C(CH<sub>3</sub>)<sub>2</sub>(S[O]CH<sub>3</sub>), -C(CH<sub>3</sub>)<sub>2</sub>(S[O]<sub>2</sub>CH<sub>3</sub>), alkyl, hydroxyalkyl, cyanoalkyl, haloalkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, alkylthioalkyl, aralkyl, heteroaralkyl, aminoalkyl or mono- or disubstituted aminoalkyl radical, wherein said substituents are selected from the group consisting of alkyl and aralkyl radicals; and

R<sup>1'</sup> is a hydrogen, alkyl or aralkyl; and R<sup>1''</sup> is a hydrogen, alkyl, -CO<sub>2</sub>CH<sub>3</sub> or -CONH<sub>2</sub>; or one of R<sup>1'</sup> and R<sup>1''</sup> together with R<sup>1</sup> and the carbon atoms to which R<sup>1</sup>, R<sup>1'</sup> and R<sup>1''</sup> are attached, form a cycloalkyl radical.

4. The compound of Claim 3 or a pharmaceutically acceptable salt, prodrug or ester thereof, wherein

R<sup>2</sup> is an alkyl, cycloalkylalkyl or aralkyl radical, which radical is optionally substituted with a radical selected from the group consisting of alkyl, halo and -OR<sup>9</sup>, wherein R<sup>9</sup> is a radical selected from the group consisting of hydrogen and alkyl;

(31)

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R

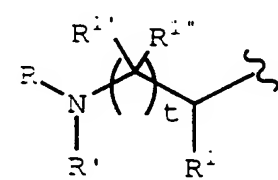
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Y

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radical, wherein the substituents are selected from the group consisting of alkyl and aralkyl radicals;

5 R' is a hydrogen, alkyl or aralkyl radical or R"SO<sub>2</sub>-, wherein R" is a radical as defined for R<sup>3</sup>; or R and R' together with the nitrogen to which they are attached form a heterocycloalkyl or heteroaryl radical;

10 R<sup>1</sup> is a hydrogen, -CO<sub>2</sub>H, -CH<sub>2</sub>CO<sub>2</sub>H, -CH<sub>2</sub>CH<sub>2</sub>CONH<sub>2</sub>, -CH<sub>2</sub>CONH<sub>2</sub>, -CONH<sub>2</sub>, -CH<sub>2</sub>C(O)NHCH<sub>3</sub>, -CH<sub>2</sub>C(O)N(CH<sub>3</sub>)<sub>2</sub>, -CONHCH<sub>3</sub>, -CONH(CH<sub>3</sub>)<sub>2</sub>, -CH<sub>2</sub>SO<sub>2</sub>NH<sub>2</sub>, -CH<sub>2</sub>CH<sub>2</sub>SO<sub>2</sub>NH<sub>2</sub>, alkyl, hydroxyalkyl, cyanoalkyl, alkynyl, cycloalkylalkyl, alkylthioalkyl, aralkyl or heteroaralkyl radical; and

15 R<sup>1</sup>' is a hydrogen, alkyl or aralkyl; and R<sup>1</sup>" is a hydrogen, alkyl, -CO<sub>2</sub>CH<sub>3</sub> or -CONH<sub>2</sub>; or one of R<sup>1</sup>' and R<sup>1</sup>" together with R<sup>1</sup> and the carbon atoms to which R<sup>1</sup>, R<sup>1</sup>' and R<sup>1</sup>" are attached, form a cycloalkyl radical;

20 with the proviso that alkyl, alone or in combination, is a straight-chain or branched-chain hydrocarbon radical containing from one to eight carbon atoms; alkenyl, alone or in combination, is a straight-chain or branched-chain hydrocarbon radical having at least one double bond and  
25 containing from two to eight carbon atoms; alkynyl, alone or in combination, is a straight-chain or branched-chain hydrocarbon radical having at least one triple bond and containing from two to ten carbon atoms; and cycloalkyl, alone or in combination, is a hydrocarbon ring containing  
30 from three to eight carbon atoms.

5. The compound of Claim 4 or a pharmaceutically acceptable salt, prodrug or ester thereof, wherein

35 R<sup>2</sup> is an alkyl, cycloalkylalkyl or aralkyl radical, which radical is optionally substituted with a radical selected from the group consisting of alkyl, halo and -OR<sup>9</sup>,

wherein  $R^9$  is a radical selected from the group consisting of hydrogen and alkyl;

$R^3$  is a hydrogen, alkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, alkylthioalkyl, alkylsulfonylalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkylalkyl, aryl, aralkyl, heteroaralkyl, aminoalkyl or mono- or dialkyl substituted aminoalkyl radical;

$R^4$  is an alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heteroaryl, heterocycloalkylalkyl, aryl, aralkyl, aralkenyl or heteroaralkyl radical;

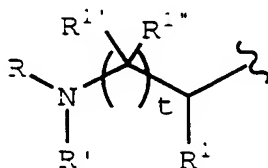
$R^6$  is a hydrogen or alkyl radical;

$x$  is 1 or 2;

$t$  is 0 or 1; and

$Y$  is O or S; and

$A$  is an alkoxy, alkenoxy, aralkoxy, alkyl, cycloalkyl, aryl, heterocycloalkyl, heterocycloalkoxy, heterocycloalkylalkyl, heteroaralkoxy, heteroaryl, amino, or mono- or disubstituted amino radical, wherein the substituents are selected from the group consisting of alkyl and aralkyl radicals; or is represented by the formula



wherein  $R$  is a hydrogen, alkoxycarbonyl, aralkoxycarbonyl, alkylcarbonyl, carboxyalkanoyl, alkanoyl, aroyl, heteroaroyl, alkyl, aralkyl,

aminocarbonyl, aminoalkanoyl, or mono- or disubstituted aminocarbonyl or mono- or disubstituted aminoalkanoyl radical, wherein the substituents are selected from the group consisting of alkyl and aralkyl radicals;

5

R' is a hydrogen, alkyl or aralkyl radical or R"SO<sub>2</sub>-, wherein R" is a radical as defined for R<sup>3</sup>; or R and R' together with the nitrogen to which they are attached form a heterocycloalkyl or heteroaryl radical;

10

R<sup>1</sup> is a hydrogen, -CO<sub>2</sub>H, -CH<sub>2</sub>CO<sub>2</sub>H, -CH<sub>2</sub>CH<sub>2</sub>CONH<sub>2</sub>, -CH<sub>2</sub>CONH<sub>2</sub>, -CONH<sub>2</sub>, -CH<sub>2</sub>C(O)NHCH<sub>3</sub>, -CH<sub>2</sub>C(O)N(CH<sub>3</sub>)<sub>2</sub>, -CONHCH<sub>3</sub>, -CONH(CH<sub>3</sub>)<sub>2</sub>, -CH<sub>2</sub>SO<sub>2</sub>NH<sub>2</sub>, -CH<sub>2</sub>CH<sub>2</sub>SO<sub>2</sub>NH<sub>2</sub>, alkyl, hydroxyalkyl, cyanoalkyl, alkynyl, cycloalkylalkyl, alkylthioalkyl, aralkyl or heteroaralkyl radical; and

15

R<sup>1'</sup> is a hydrogen, alkyl or aralkyl; and R<sup>1''</sup> is a hydrogen, alkyl, -CO<sub>2</sub>CH<sub>3</sub> or -CONH<sub>2</sub>; or one of R<sup>1'</sup> and R<sup>1''</sup> together with R<sup>1</sup> and the carbon atoms to which R<sup>1</sup>, R<sup>1'</sup> and R<sup>1''</sup> are attached, form a cycloalkyl radical;

20

with the proviso that alkyl, alone or in combination, is a straight-chain or branched-chain hydrocarbon radical containing from one to five carbon atoms; alkenyl, alone or in combination, is a straight-chain or branched-chain hydrocarbon radical having at least one double bond and containing from two to five carbon atoms; alkynyl, alone or in combination, is a straight-chain or branched-chain hydrocarbon radical having at least one triple bond and containing from two to five carbon atoms; and cycloalkyl, alone or in combination, is a hydrocarbon ring containing from three to eight carbon atoms; and

25

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with the proviso that when R<sup>2</sup> is cycloalkylalkyl and t is 0, R' is a group other than alkoxycarbonyl.

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6. The compound of Claim 5 or a pharmaceutically acceptable salt, prodrug or ester thereof, wherein

R<sup>2</sup> is butyl, cyclohexylmethyl, benzyl, 4-fluorobenzyl or naphthylmethyl;

5 R<sup>3</sup> is methyl, ethyl, propyl, butyl, pentyl, hexyl, iso-butyl, iso-amyl, 3-methoxypropyl, 3-methylthiopropyl, 4-methylthiobutyl, 4-methylsulfonylbutyl, 2-dimethylaminoethyl, 2-(1-morpholino)ethyl, 4-hydroxybutyl, allyl, propargyl, cyclohexylmethyl,  
 10 cyclopropylmethyl, phenyl, benzyl, 4-fluorobenzyl, 4-methoxybenzyl, 1-phenylethyl, 2-phenylethyl, naphthylmethyl, 3-pyridylmethyl or 4-pyridylmethyl;

R<sup>4</sup> is methyl, ethyl, propyl, butyl, ethenyl,  
 15 chloromethyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, phenyl, naphthyl, chlorophenyl, fluorophenyl, hydroxyphenyl, methylphenyl, methoxyphenyl, ethoxyphenyl, methylthiophenyl, methylsulfoxyphenyl, methylsulfonylphenyl, acetamidophenyl,  
 20 methoxycarbonylphenyl, dimethylaminophenyl, nitrophenyl, trifluoromethylphenyl, benzyl, 2-phenylethenyl or thienyl;

R<sup>6</sup> is hydrogen;

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x is 2;

t is 0 or 1; and

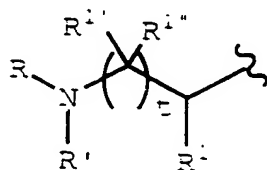
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Y is O; and

A is methyl, cyclohexyl, cyclopentyl, cycloheptyl, 1,2,3,4-tetrahydronaphthyl, naphthyl, quinolinyl, indolyl, pyridyl, methylpyridyl, furanyl, thiophenyl,  
 35 oxazolyl, thiazolyl, phenyl, methylphenyl, ethylphenyl, dimethylphenyl, iso-propylphenyl, chlorophenyl, hydroxyphenyl, methoxyphenyl, methylsulfonylphenyl, methylsulfonylmethylphenyl, carboxyphenyl,

aminocarbonylphenyl, methylhydroxyphenyl,  
 methylnitrophenyl, methylaminophenyl, methyl-N,N-  
 dimethylaminophenyl, t-butoxy, benzyloxy, pyridylmethoxy,  
 3-propenoxy, hydroxypyridylmethoxy, aminopyridylmethoxy,  
 5 pyrimidinylmethoxy, N-oxo-pyrimidinylmethoxy,  
 thiazolylmethoxy, tetrahydrothiophenoxy, 1,1-  
 dioxotetrahydrothiophenoxy, tetrahydrofuranoxo,  
 methylamino, benzylamino or isopropylamino; or is  
 represented by the formula

10



wherein R is hydrogen, acetyl, phenoxyacetyl,  
 methoxyacetyl, naphthaloxyacetyl, succinoyl, 2-  
 15 methylpropionoyl, 2-hydroxypropionoyl, t-butoxycarbonyl,  
 benzyloxycarbonyl, methoxybenzyloxycarbonyl,  
 aminocarbonyl, quinolinylcarbonyl, N-methylglycinyi or  
 N,N-dimethylglycinyi;

20 R' is hydrogen, benzyl or methyl; or R and R' together  
 with the nitrogen to which they are attached form  
 pyrrolyl;

R1' is hydrogen, -CO<sub>2</sub>H, -CH<sub>2</sub>CO<sub>2</sub>H, -CH<sub>2</sub>CH<sub>2</sub>CONH<sub>2</sub>, -CH<sub>2</sub>CONH<sub>2</sub>,  
 25 -CONH<sub>2</sub>, -CH<sub>2</sub>C(O)NHCH<sub>3</sub>, -CH<sub>2</sub>C(O)N(CH<sub>3</sub>)<sub>2</sub>, -CONHCH<sub>3</sub>,  
 -CONH(CH<sub>3</sub>)<sub>2</sub>, -CH<sub>2</sub>SO<sub>2</sub>NH<sub>2</sub>, -CH<sub>2</sub>CH<sub>2</sub>SO<sub>2</sub>NH<sub>2</sub>, methyl, ethyl,  
 propyl, isopropyl, butyl, isobutyl, sec-butyl, tert-  
 butyl, 3-methylbutyl, cyclohexylmethyl, benzyl,  
 hydroxybenzyl, imidazolyl, imidazolylmethyl, cyanomethyl,  
 30 methylthiomethyl, propargyl or hydroxyethyl; and

R1' is hydrogen, methyl, ethyl, propyl, isopropyl, butyl,  
 isobutyl, benzyl, phenylethyl, phenylpropyl, phenylbutyl  
 or 4,4-diphenylbutyl; and R1'' is hydrogen, methyl,  
 35 -CO<sub>2</sub>CH<sub>3</sub> or -CONH<sub>2</sub>; or one of R1' and R1'' together with R1

and the carbon atoms to which R<sup>1</sup>, R<sup>1'</sup> and R<sup>1''</sup> are attached, form cyclobutyl, cyclopentyl or cyclohexyl; with the proviso that when R<sup>2</sup> is cyclohexylmethyl and t is 0, R' is a group other than t-butoxycarbonyl.

7. The compound of Claim 1 which is:

Phenylmethyl[2R-hydroxy-3-[(3-methylbutyl)(methanysulfonyl) amino]-1S-(phenylmethyl)propyl]carbamate;

Phenylmethyl[2R-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl) amino]-1S-(phenylmethyl)propyl]carbamate;

N1-[2R-hydroxy-3-[(3-methylbutyl)(methanysulfonyl) amino]-1S-(phenylmethyl)propyl]-2S-[(2-quinolinylcarbonyl) amino] butanediamide;

N1-[2R-hydroxy-3-[(3-methylbutyl)(methanysulfonyl) amino]-1S-(phenylmethyl)propyl]-2S-[(phenylmethyloxycarbonyl) amino] butanediamide;

N1-[2R-hydroxy-3[(3-methylbutyl)(phenylsulfonyl) amino]-1S-(phenylmethyl)propyl]-2S-[(2-quinolinylcarbonyl) amino] butanediamide;

N1-[2R-hydroxy-3[(3-methylbutyl)(phenylsulfonyl) amino]-1S-(phenylmethyl)propyl]-2S-[(phenylmethyloxycarbonyl) amino] butanediamide;

2S-[[ (dimethylamino) acetyl] amino]-N-[2R-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl) amino]-1S-(phenylmethyl)propyl]-3,3-dimethylbutaneamide;

- 2S-[1-methylamino)acetyl]amino]-N-[2R-hydroxy-3-[(3-methyl-butyl)(phenylsulfonyl)amino]-1S-(phenylmethyl)propyl]-3,3-dimethylbutaneamide;
- 5 N1-[2R-hydroxy-3-[(3-methylbutyl)(phenyl-sulfonyl)amino]-N4-methyl-1S-(phenylmethyl)propyl]-2S-[(2-quinolinylcarbonyl) amino]butanediamide;
- 10 [3-[[2-hydroxy-3-[N-(3-methylbutyl)-N-(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]-, (4-methoxyphenyl)methyl ester, [1S-[1R\*(S\*),2S\*]]-;
- 15 Carbamic acid, [2R-hydroxy-3-[(4-hydroxyphenylsulfonyl)(2-methylpropyl)amino]-1S-(phenylmethyl)propyl-, 3(S)-1,1-dioxotetrahydrothiophen-3-yl-ester;
- 20 Carbamic acid, [2R-hydroxy-3-[(4-methoxyphenylsulfonyl)(2-methylpropyl)amino]-1S-(phenylmethyl)propyl-, 3(S)-1,1-dioxotetrahydrothiophen-3-yl-ester;
- 25 Carbamic acid, [2R-hydroxy-3-[(4-methoxyphenylsulfonyl)(2-methylpropyl)amino]-1S-(phenylmethyl)propyl-, 3-S-tetrahydrothiophen-3-yl-ester;
- 30 Carbamic acid, [2R-hydroxy-3-[(4-hydroxyphenylsulfonyl)(2-methylpropyl)amino]-1S-(phenylmethyl)propyl-, 3-S-tetrahydrofuran-3-yl-ester;
- 35 Carbamic acid, [2R-hydroxy-3-[(4-methoxyphenylsulfonyl)(2-methylpropyl)amino]-1S-(phenylmethyl)propyl-, 3-S-tetrahydrofuran-3-yl-ester;

Carbamic acid, [2R-hydroxy-3-[[[4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-, 5-(thiazolyl)methyl ester;

5 Carbamic acid, [2R-hydroxy-3-[[[4-hydroxyphenyl)sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-, 5-(thiazolyl)methyl ester;

10 Benzamide, N-[2R-hydroxy-3-[[[4-hydroxyphenyl)sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-2-methyl;

15 Carbamic acid, [2R-hydroxy-3-[[[4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-, 3-(6-aminopyridyl)methyl ester;

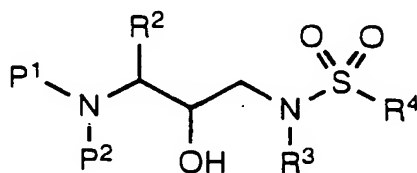
20 Carbamic acid, [2R-hydroxy-3-[[[4-hydroxyphenyl)sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-, 3-(6-aminopyridyl)methyl ester;

Carbamic acid, [2R-hydroxy-3-[[[4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-, 3-(6-hydroxypyridyl)methyl ester;

25 Carbamic acid, [2R-hydroxy-3-[[[4-hydroxyphenyl)sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-, 5-pyrimidylmethyl ester; or

30 Benzamide, N-[2R-hydroxy-3-[[[4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-2-methyl.

8. A compound represented by the formula:



or a pharmaceutically acceptable salt, prodrug or ester thereof, wherein

5 each of  $P^1$  and  $P^2$  independently represent hydrogen, alkoxy-carbonyl, aralkoxy-carbonyl, alkyl-carbonyl, cycloalkyl-carbonyl, cycloalkylalkoxy-carbonyl, cycloalkylalkanoyl, alkanoyl, aralkanoyl, aroyl, aryloxy-carbonyl, aryloxy-carbonylalkyl, aryloxyalkanoyl, 10 heterocyclyl-carbonyl, heterocyclyloxy-carbonyl, heterocyclylalkanoyl, heterocyclylalkoxy-carbonyl, heteroaralkanoyl, heteroaralkoxy-carbonyl, heteroaryloxy-carbonyl, heteroaryl, alkyl, alkenyl, cycloalkyl, aryl, aralkyl, aryloxyalkyl, heteroaryloxyalkyl, hydroxyalkyl, 15 aminocarbonyl, aminoalkanoyl, or mono- or disubstituted aminocarbonyl or mono- or disubstituted aminoalkanoyl radical, wherein the substituents are selected from the group consisting of alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroaralkyl, 20 heterocycloalkyl and heterocycloalkyl radicals; or where said aminoalkanoyl radical is disubstituted, said substituents along with the nitrogen atom to which they are attached form a heterocycloalkyl or heteroaryl radical;

25  $R^2$  is an alkyl, aryl, cycloalkyl, cycloalkylalkyl or aralkyl radical, which radicals are optionally substituted with a group selected from alkyl and halogen radicals, nitro, cyano,  $CF_3$ ,  $-OR^9$ ,  $-SR^9$ , wherein  $R^9$  is a 30 hydrogen or alkyl radical;

$R^3$  is a hydrogen, alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heteroaryl, heterocycloalkylalkyl, 35 aryl, aralkyl, heteroaralkyl, aminoalkyl or mono- or disubstituted aminoalkyl radical, wherein said substituents are selected from the group consisting of alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl,

heteroaryl, heteroaralkyl, heterocycloalkyl and heterocycloalkylalkyl radicals; or where the aminoalkyl radical is disubstituted, said substituents along with the nitrogen atom to which they are attached, form a heterocycloalkyl or a heteroaryl radical; and

R<sup>4</sup> is a radical as defined by R<sup>3</sup> except for hydrogen.

9. The compound of Claim 8, wherein each of p<sup>1</sup> and p<sup>2</sup> independently represent a hydrogen, alkoxycarbonyl, aralkyloxycarbonyl, heteroaralkoxycarbonyl, aroyl, heteroaroyl, alkanoyl or cycloalkanoyl radical;

R<sup>2</sup> is a cycloalkylalkyl, aralkyl or alkyl radical;

R<sup>3</sup> is an alkyl, cycloalkyl or cycloalkylalkyl radical; and

R<sup>4</sup> is an aryl, alkyl, heteroaryl or aryl radical.

10. The compound of Claim 9, wherein p<sup>1</sup> and p<sup>2</sup> independently represent, 3-pyridylmethyloxycarbonyl, 3-pyridylmethyloxycarbonyl N-oxide, 4-pyridylmethyloxycarbonyl, 4-pyridylmethyloxycarbonyl N-oxide, 5-pyrimidylmethyloxycarbonyl, tert-butylloxycarbonyl, allyloxycarbonyl, 2-propyloxycarbonyl, benzyloxycarbonyl, cycloheptylcarbonyl, cyclohexylcarbonyl, cyclopentylcarbonyl, benzoyl, 4-pyridylcarbonyl, 2-methylbenzoyl, 3-methylbenzoyl, 4-methylbenzoyl, 2-chlorobenzoyl, 2-ethylbenzoyl, 2,6-dimethylbenzoyl, 2,3-dimethylbenzoyl, 2,4-dimethylbenzoyl or 2,5-dimethylbenzoyl;

R<sup>2</sup> is benzyl, cyclohexylmethyl, 2-naphthylmethyl, para-fluorobenzyl, para-methoxybenzyl, isobutyl or n-butyl;

R<sup>3</sup> is isobutyl, isoamyl, cyclohexyl, cyclohexylmethyl, n-butyl or n-propyl; and

R<sup>4</sup> is phenyl, para-methoxyphenyl, para-cyanophenyl, para-chlorophenyl, para-hydroxyphenyl, para-nitrophenyl, para-fluorophenyl, 2-naphthyl, 3-pyridyl, 3-pyridyl N-oxide,  
 5 4-pyridyl or 4-pyridyl N-oxide;

with the proviso that when R<sup>2</sup> is cyclohexylmethyl, each of P<sup>1</sup> and P<sup>2</sup> independently represent a group other than tert-butyloxycarbonyl.

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11. A compound of Claim 8 which is:

Phenylmethyl[2R-hydroxy-3-[(2-methylpropyl)(phenylsulfonyl) amino]-1S-  
 15 (phenylmethyl)propyl]carbamate;

Phenylmethyl[2R-hydroxy-3-[(2-methylpropyl)(4-methoxyphenyl sulfonyl) amino]-1S-  
 20 (phenylmethyl)propyl]carbamate;

Phenylmethyl[2R-hydroxy-3-[(2-methylpropyl)(4-fluorophenyl sulfonyl) amino]-1S-  
 (phenylmethyl)propyl]carbamate;

25 Phenylmethyl[2R-hydroxy-3-[(2-methylpropyl)(4-nitrophenylsulfonyl) amino]-1S-  
 (phenylmethyl)propyl]carbamate;

Phenylmethyl[2R-hydroxy-3-[(2-methylpropyl)(4-chlorophenyl sulfonyl) amino]-1S-  
 30 (phenylmethyl)propyl]carbamate;

Phenylmethyl[2R-hydroxy-3-[(2-methylpropyl)(4-acetamidophenyl sulfonyl) amino]-1S-  
 35 (phenylmethyl)propyl]carbamate;

Phenylmethyl[2R-hydroxy-3-[(2-methylpropyl)(4-aminophenylsulfonyl)amino]-1S-(phenylmethyl)propyl]carbamate;

5 Phenylmethyl[2R-hydroxy-3-[(3-methylbutyl)(4-methoxyphenylsulfonyl)amino]-1S-(phenylmethyl)propyl]carbamate;

10 Phenylmethyl[2R-hydroxy-3-[(3-methylbutyl)(4-fluorophenylsulfonyl)amino]-1S-(phenylmethyl)propyl]carbamate;

15 Phenylmethyl[2R-hydroxy-3-[(3-methylbutyl)(4-nitrophenylsulfonyl)amino]-1S-(phenylmethyl)propyl]carbamate;

Phenylmethyl[2R-hydroxy-3-[(3-methylbutyl)(4-chlorophenylsulfonyl)amino]-1S-(phenylmethyl)propyl]carbamate;

20 Phenylmethyl[2R-hydroxy-3-[(2-methylpropyl)(4-methoxyphenylsulfonyl)amino]-1S-(4-fluorophenylmethyl)propyl]carbamate;

25 Phenylmethyl[2R-hydroxy-3-[(2-methylpropyl)(4-fluorophenylsulfonyl)amino]-1S-(4-fluorophenylmethyl)propyl]carbamate;

Phenylmethyl[2R-hydroxy-3-[(butyl)(phenylsulfonyl)amino]-1S-(phenylmethyl)propyl]carbamate;

30 Phenylmethyl[2R-hydroxy-3-[(cyclohexylmethyl)(phenylsulfonyl)amino]-1S-(phenylmethyl)propyl]carbamate;

35 Phenylmethyl[2R-hydroxy-3-[(cyclohexyl)(phenylsulfonyl)amino]-1S-(phenylmethyl)propyl]carbamate;

Phenylmethyl[2R-hydroxy-3-[(propyl)(phenylsulfonyl)amino]-1S-(phenylmethyl)propyl]carbamate;

Pentanamide, 2S-[[[dimethylamino)acetyl]amino]-N-3R-hydroxy-3-[(3-methylpropyl)(4-methoxyphenylsulfonyl)amino]-1S-(phenylmethyl)propyl]-3S-methyl;

Pentanamide, 2S-[[[methylamino)acetyl]amino]-N-2R-hydroxy-3-[(4-methylbutyl)(phenylsulfonyl)amino]-1S-(phenylmethyl)propyl]-3S-methyl;

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Pentanamide, 2S-[[[dimethylamino)acetyl]amino]-N-2R-hydroxy-3-[(4-methylbutyl)(phenylsulfonyl)amino]-1S-(phenylmethyl)propyl]-3S-methyl;

15 [2R-hydroxy-3-[[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propylamine;

2R-hydroxy-3-[(2-methylpropyl)(4-hydroxyphenyl)sulfonyl]amino-1S-(phenylmethyl)propylamine;

20

[2R-hydroxy-3-[(phenylsulfonyl)(3-methylbutyl)amino]-1S-(phenylmethyl)propylamine;

25 [2R-hydroxy-3-[(phenylsulfonyl)(2-methylpropyl)amino]-1S-(phenylmethyl)propylamine;

[2R-hydroxy-3-[(phenylsulfonyl)(cyclohexylmethyl)amino]-1S-(phenylmethyl)propylamine;

30

[2R-hydroxy-3-[(phenylsulfonyl)(cyclohexyl)amino]-1S-(phenylmethyl)propylamine;

35 4-Pyridinecarboxamide, N-[2R-hydroxy-3-[[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl];

Benzamide, N-[2R-hydroxy-3-[[[4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-2,6-dimethyl;

5 Benzamide, N-[2R-hydroxy-3-[[[4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-2-methyl;

Benzamide, N-[2R-hydroxy-3-[[[4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-2-ethyl;

10 Benzamide, N-[2R-hydroxy-3-[[[4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-2-chloro;

15 Carbamic acid, [2R-hydroxy-3-[[[4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-, 3-pyridylmethyl ester;

20 Carbamic acid, [2R-hydroxy-3-[[[4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-, 3-pyridylmethyl ester, N-oxide;

25 Carbamic acid, [2R-hydroxy-3-[[[phenylsulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-, 3-pyridylmethyl ester;

30 Carbamic acid, [2R-hydroxy-3-[[[4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-, 4-pyridylmethyl ester;

35 Carbamic acid, [2R-hydroxy-3-[[[4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-,

4-pyridylmethyl ester, N-oxide;

Carbamic acid, [2R-hydroxy-3-[[[4-chlorophenyl)sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-, 3-pyridylmethyl ester;

Carbamic acid, [2R-hydroxy-3-[[[4-nitrophenyl)sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-, 3-pyridylmethyl ester;

Carbamic acid, [2R-hydroxy-3-[[[4-fluorophenyl)sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-, 3-pyridylmethyl ester;

Carbamic acid, [2R-hydroxy-3-[[[4-hydroxyphenyl)sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-, 3-pyridylmethyl ester; or

Carbamic acid, [2R-hydroxy-3-[[[4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-, 5-pyrimidylmethyl ester.

12. A pharmaceutical composition comprising a compound of Claim 1 and a pharmaceutically acceptable carrier.

13. A pharmaceutical composition comprising a compound of Claim 8 and a pharmaceutically acceptable carrier.

14. Method of inhibiting a retroviral protease comprising administering an effective amount of a compound of Claim 1.

15. Method of inhibiting a retroviral protease comprising administering an effective amount of a compound of Claim 8.

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16. Method of treating a retroviral infection comprising administering an effective amount of a composition of Claim 12.

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17. Method of treating a retroviral infection comprising administering an effective amount of a composition of Claim 13.

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18. Method of preventing replication of a retrovirus suspected of being present in a solution comprising administering an effective amount of a compound of Claim 1.

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19. Method of preventing replication of a retrovirus suspected of being present in a solution comprising administering an effective amount of a compound of Claim 8.